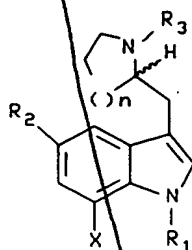


INDOLE DERIVATIVES

Abstract

Compounds of the formula



5

10

15

20

25

30

35

wherein n is 0, 1, or 2; X is hydrogen, chlorine, bromine, or iodine; R<sub>1</sub> is hydrogen; R<sub>2</sub> is selected from hydrogen, halogen, cyano, -OR<sub>4</sub>, -(CH<sub>2</sub>)<sub>m</sub>-(C=O)NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>m</sub>-SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>m</sub>-NR<sub>7</sub>(C=O)R<sub>8</sub>, -(CH<sub>2</sub>)<sub>m</sub>-NR<sub>7</sub>SO<sub>2</sub>R<sub>8</sub>, -(CH<sub>2</sub>)<sub>m</sub>-S(O)<sub>x</sub>R<sub>8</sub>, -(CH<sub>2</sub>)<sub>m</sub>-NR<sub>7</sub>(C=O)NR<sub>5</sub>R<sub>6</sub>, -(CH<sub>2</sub>)<sub>m</sub>-NR<sub>7</sub>(C=O)OR<sub>9</sub>, and -CH=CH(CH<sub>2</sub>)<sub>y</sub>R<sub>10</sub>; R<sub>3</sub> is selected from hydrogen and C<sub>1</sub> to C<sub>6</sub> linear or branched alkyl; R<sub>4</sub> is selected from hydrogen, C<sub>1</sub> to C<sub>6</sub> alkyl, and aryl; R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, C<sub>1</sub> to C<sub>6</sub> alkyl, aryl, and C<sub>1</sub> to C<sub>3</sub> alkyl-aryl or R<sub>5</sub> and R<sub>6</sub> taken together to form a 4, 5, or 6 membered ring; R<sub>7</sub> and R<sub>8</sub> are independently selected from hydrogen, C<sub>1</sub> to C<sub>6</sub> alkyl, aryl, and C<sub>1</sub> to C<sub>3</sub> alkyl-aryl; R<sub>9</sub> is selected from hydrogen, C<sub>1</sub> to C<sub>6</sub> alkyl, aryl, and C<sub>1</sub> to C<sub>3</sub> alkyl-aryl; R<sub>10</sub> is selected from -(C=O)NR<sub>5</sub>R<sub>6</sub> and -SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, wherein R<sub>5</sub> and R<sub>6</sub> are defined as above, and -NR<sub>7</sub>(C=O)R<sub>8</sub>, -NR<sub>7</sub>SO<sub>2</sub>R<sub>8</sub>, -NR<sub>7</sub>(C=O)NR<sub>5</sub>R<sub>6</sub>, -S(O)<sub>x</sub>R<sub>8</sub> and -NR<sub>7</sub>(C=O)OR<sub>9</sub>, wherein R<sub>7</sub>, R<sub>8</sub>, and R<sub>9</sub> are as defined above; y is 0, 1, 2, 3, or 4; x is 1 or 2; m is 0, 1, 2, or 3; and the above aryl groups and the aryl moieties of the above alkylaryl groups are independently selected from phenyl and substituted phenyl, wherein said substituted phenyl may be substituted with one to three groups selected from C<sub>1</sub> to C<sub>4</sub> alkyl, halogen, hydroxy, cyano, carboxamido, nitro, and C<sub>1</sub> to C<sub>4</sub> alkoxy, with the proviso that when R<sub>2</sub> is hydrogen or -OR<sub>4</sub> and R<sub>4</sub> is hydrogen, and

EXPRESS MAIL NO. B26718538X

the pharmaceutically acceptable salts thereof are new. These compounds are useful psychotherapeutics and are potent serotonin (5-HT<sub>1</sub>) agonists and may be used in the treatment of depression, anxiety, eating disorders, 5 obesity, drug abuse, cluster headache, migraine, pain, chronic paroxysmal hemicrania and headache associated with vascular disorders, and other disorders arising from deficient serotonergic neurotransmission. The compounds can also be used as centrally acting antihypertensives 10 and vasodilators. A process for forming indoles by transition metal catalyzed cyclization of a dihalogenated intermediate.

15 BACKUP OF ID 21538